

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date  
10 November 2005 (10.11.2005)

PCT

(10) International Publication Number  
**WO 2005/105779 A1**

(51) International Patent Classification<sup>7</sup>: **C07D 401/04**, 401/14, 403/04, A61K 31/454, 31/506, 31/4196, A61P 5/12, 9/00, 15/00, 29/00

Road, Sandwich, Kent CT13 9NJ (GB). **STOBIE, Alan** [GB/GB]; Pfizer Global Research and Development, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

(21) International Application Number:  
**PCT/IB2005/001062**

(74) Agents: **WOOD, David, J.** et al.; Pfizer Research and Development, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

(22) International Filing Date: 18 April 2005 (18.04.2005)

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US (patent), UZ, VC, VN, YU, ZA, ZM, ZW.

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
0409502.2 28 April 2004 (28.04.2004) GB  
60/576,252 2 June 2004 (02.06.2004) US  
0415888.7 15 July 2004 (15.07.2004) GB  
60/601,082 12 August 2004 (12.08.2004) US

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(71) Applicant (for GB only): **PFIZER LIMITED** [GB/GB]; Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

Published:

— with international search report

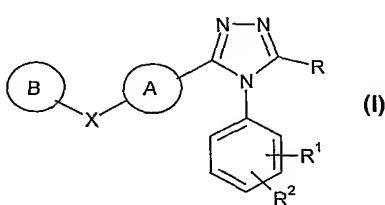
(71) Applicant (for all designated States except GB, US): **PFIZER INC.** [US/US]; 235 East 42nd Street, New York, NY 10017 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **BRYANS, Justin, Stephen** [GB/GB]; Pfizer Global Research and Development, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). **JOHNSON, Patrick, Stephen** [GB/GB]; Pfizer Global Research and Development, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). **RYCKMANS, Thomas** [BE/GB]; Pfizer Global Research and Development, Ramsgate

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: 3-HETEROACYCLYL-4-PHENYL-TRIAZOLE DERIVATIVES AS INHIBITORS OF THE VASOPRESSIN V1A RECEPTOR



(57) Abstract: Compounds of formula (I): or a pharmaceutically acceptable derivative thereof, wherein R represents C<sub>1</sub>-alkyl (optionally substituted by C<sub>1</sub>-alkyloxy or Het) or C<sub>1</sub>-alkyloxy; R<sup>1</sup> and R<sup>2</sup> independently represent hydrogen, halo or C<sub>1</sub>-alkyl, ring A represents Het<sup>1</sup>; X represents O or NR<sup>3</sup>; R<sup>3</sup> represents hydrogen or C<sub>1</sub>-alkyl; ring B represents a phenyl group or Het<sup>2</sup>, either of which may be optionally substituted with one or more groups selected from halo, CN, C<sub>1</sub>-alkyloxy, CF<sub>3</sub>, C<sub>1</sub>-alkyl, NH<sub>2</sub> and NO<sub>2</sub>; Het and Het<sup>1</sup> independently represent a 5- or 6-membered saturated, partially unsaturated or aromatic heterocyclic group comprising either (a) 1 to 4 nitrogen atoms, (b) one oxygen or

one sulphur atom or (c) 1 oxygen atom or 1 sulphur atoms and 1 or 2 nitrogen atoms are useful for treating anxiety, cardiovascular disease (including angina, atherosclerosis, hypertension, heart failure, edema, hypernatremia), dysmenorrhoea (primary and secondary), endometriosis, emesis (including motion sickness), intrauterine growth retardation, inflammation (including rheumatoid arthritis) mensesmerchz, preclampsia, premature ejaculation, premature (preterm) labour and Raynaud's disease.

**WO 2005/105779 A1**